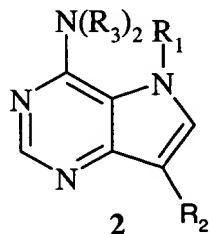


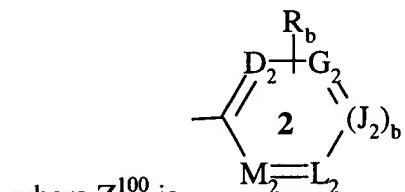
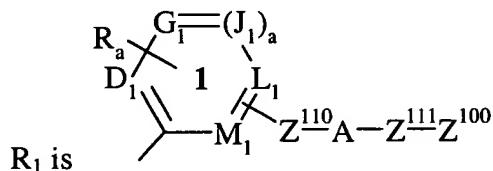
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings of claims in the application:

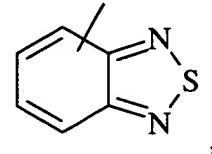
1. (Currently Amended) A compound of Formula (I), the racemic-diastereomeric mixtures, optical isomers or pharmaceutically-acceptable salts thereof,



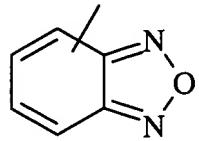
wherein:



where Z¹⁰⁰ is or a group optionally substituted with R_b selected from the group consisting of cycloalkyl, naphthyl, tetrahydronaphthyl,



benzothienyl, furanyl, thienyl, benzoxazolyl, benzothiazolyl,



, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, benzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

Z^{110} is a covalent bond, or an optionally substituted (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation, which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, NO₂, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

Z^{111} is a covalent bond, an optionally substituted (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation or an optionally substituted -(CH₂)_n-cycloalkyl-(CH₂)_n-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, NO₂, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

R_a and R_b each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -NO₂, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted arylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, -Z¹⁰⁵-C(O)N(R)₂, -Z¹⁰⁵-N(R)-C(O)-Z²⁰⁰, -Z¹⁰⁵-N(R)-S(O)₂-Z²⁰⁰, -Z¹⁰⁵-N(R)-C(O)-N(R)-Z²⁰⁰, R_c and CH₂OR_c;

where R_c for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, -CH₂-NR_dR_e, -W-(CH₂)_t-NR_dR_e, -W-(CH₂)_t-O-alkyl, -W-(CH₂)_t-S-alkyl, or -W-(CH₂)_t-OH;

Z^{105} for each occurrence is independently a covalent bond or (C_4-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation;

Z^{200} for each occurrence is independently a substituted or unsubstituted (C_4-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation, substituted or unsubstituted phenyl or substituted or unsubstituted (C_4-C_6) (straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-phenyl;

R_d and R_e for each occurrence are independently H, alkyl, alkanoyl or SO_2- alkyl; or R_d , R_e and the nitrogen atom to which they are attached together form pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring; t for each occurrence is independently an integer from 2 to 6; W for each occurrence is independently a direct bond or O, S, $S(O)$, $S(O)_2$, or NR_f , wherein R_f for each occurrence is independently H or alkyl;

or R_1 is a substituted or unsubstituted carbocyclic, thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides fused with ring 2;

R_3 is hydrogen, hydroxy, substituted or unsubstituted alkyl or substituted or unsubstituted alkoxy;

A is -O-; -S-; $-S(O)_p-$; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -N(SO_2R)-; - CH_2O -; - CH_2S -; - $CH_2N(R)$ -; - $CH(NR)$ -; - $CH_2N(C(O)R)$ -; - $CH_2N(C(O)OR)$ -; - $CH_2N(SO_2R)$ -; - $CH(NHR)$ -; - $CH(NHC(O)R)$ -; - $CH(NHSO_2R)$ -; - $CH(NHC(O)OR)$ -; - $CH(OC(O)R)$ -; - $CH(OC(O)NHR)$; - $CH=CH$ -; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-;

-N(R)S(O)_p-; -OC(O)N(R)-; ; -N(R)-C(O)-(CH₂)_n-N(R)-, -N(R)C(O)O-; -N(R)-(CH₂)_{n+1}-C(O)-, -S(O)_pN(R)-; -O-(CR₂)_{n+1}-C(O)-, -O-(CR₂)_{n+1}-O-, -N(C(O)R)S(O)_p-; -N(R)S(O)_pN(R)-; -N(R)-C(O)-(CH₂)_n-O-, -C(O)N(R)C(O)-; -S(O)_pN(R)C(O)-; -OS(O)_pN(R)-; -N(R)S(O)_pO-; -N(R)S(O)_pC(O)-; -SO_pN(C(O)R)-; -N(R)SO_pN(R)-; -C(O)O-; -N(R)P(OR_g)O-; -N(R)P(OR_g)-; -N(R)P(O)(OR_g)O-; -N(R)P(O)(OR_g)-; -N(C(O)R)P(OR_g)O-; -N(C(O)R)P(OR_g)-; -N(C(O)R)P(O)(OR_g)O-, or -N(C(O)R)P(OR_g)-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

R_g for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2;

or in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and R_g together form a pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring; or

A is NRSO₂ and R, R_a and the nitrogen atom together form a substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl or substituted or unsubstituted tetrazolyl ring fused to ring 1;

R₂ is -Z¹⁰¹-Z¹⁰²;

Z¹⁰¹ is a covalent bond, (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation, (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-O-, (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)-, (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)O-, (C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation-C(O)-NH-, -((C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-N(((C_1-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation))- or a substituted or unsubstituted phenyl group;

Z¹⁰² is hydrogen, a substituted or unsubstituted alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or

unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline substituted or unsubstituted purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides, or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group;

said substituted thienyl, substituted pyridyl, substituted pyrazolyl, substituted isoxazolyl, substituted thiadiazolyl, substituted oxadiazolyl, substituted indazolyl, substituted furanyl, substituted pyrrolyl, substituted imidazolyl, substituted pyrazolyl, substituted triazolyl, substituted pyrimidinyl, substituted pyrazinyl, substituted thiazolyl, substituted or isothiazolyl, substituted oxazolyl, substituted tetrazolyl, substituted benzo[b]thienyl, substituted benzimidazolyl, substituted benzoxazolyl, substituted benzothiazolyl, substituted benzothiadiazolyl, substituted benzodiazolyl, substituted indolyl, substituted tetrahydroindolyl, substituted azaindolyl, substituted indazolyl, substituted quinolinyl, substituted imidazopyridinyl, substituted quinazoline substituted purinyl, substituted pyrrolo[2,3-d]pyrimidinyl, substituted pyrazolo[3,4-d]pyrimidinyl or substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, substituted or unsubstituted alkoxy, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido; substituted or unsubstituted amino, oxo, a saturated, unsaturated or aromatic, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted

benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides;

wherein said nitrogen atoms are independently optionally substituted by a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; or

R_2 is of the formula B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, hydroxy, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylene carbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted azacycloalkyl, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and D_1 , G_1 , J_1 , L_1 and M_1 are each independently selected from the group consisting of CR_a and N, provided that at least two of D_1 , G_1 , J_1 , L_1 and M_1 are CR_a ; or

a is 0, and one of D_1 , G_1 , L_1 and M_1 is NR_a , one of D_1 , G_1 , L_1 and M_1 is CR_a and the remainder are independently selected from the group consisting of CR_a and N, wherein R_a is as defined above;

b is 1 and D₂, G₂, J₂, L₂ and M₂ are each independently selected from the group consisting of CR_a and N, provided that at least two of D₂, G₂, J₂, L₂ and M₂ are CR_a; or
b is 0, and one of D₂, G₂, L₂ and M₂ is NR_a, one of D₂, G₂, L₂ and M₂ is CR_a and the remainder are independently selected from the group consisting of CR_a and N, wherein R_a is as defined above; and

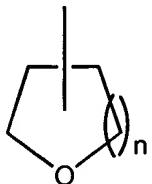
n for each occurrence is independently an integer from 0 to 6;

wherein the substituents for R_a, R_b, R_c, Z²⁰⁰, R₃, R₁, Z¹⁰¹, Z¹⁰², B and E, are independently selected from the group consisting of alkyl, CF₃, alkoxy, OCF₃, halogen, hydroxyl, nitro, oxo, CN, COH, COOH, amino, N-alkylamino or N,N-dialkylamino, esters aryl, aryalkyl, alkyl-O-C(O), alkoxyalkyl, heterocycloalkyl, optionally substituted phenyl, nitro and optionally substituted amino.

2. (Original) The compound of Claim 1 wherein R₃ is H; R₁ for each occurrence is independently selected from the group consisting of F, Cl, Br, I, CH₃, NO₂, OCF₃, OCH₃, CN, CO₂CH₃, CF₃, -CH₂NR_dR_e, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.

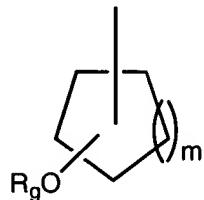
3. (Original) The compound of Claim 1 wherein R₃ is H; R_a for each occurrence is independently selected from the group consisting of F, Cl, Br, I, CH₃, NO₂, OCF₃, OCH₃, CN, CO₂CH₃, CF₃, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.

4. (Original) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein n is 1, 2 or 3.

5. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



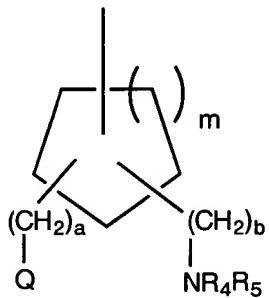
wherein m is 0, 1, 2 or 3 and

R_g is H or -(CH₂)_pN(R₄)R₅, wherein p is an integer from 2 to 6 and R₄ and

R₅ are each, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkoxy, amino, aryl, heteroaryl and thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimdinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides alkyl group or R₄, R₅ and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl,

substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

6. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein m is 0, 1, 2 or 3

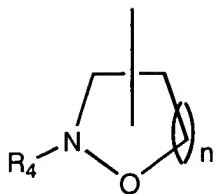
a and b are each, independently, an integer from 0 to 6;

Q is -OR₆ or -NR₄R₅;

each R₄ and R₅ is, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, amino, aryl, heteroaryl or thienyl alkyl,

pyridylalkyl, pyrazolylalkyl, isoxazolylalkyl, thiadiazolylalkyl, oxadiazolylalkyl, indazolylalkyl, furanylalkyl, pyrrolylalkyl, imidazolylalkyl, pyrazolylalkyl, triazolylalkyl, pyrimidinylalkyl, pyrazinylalkyl, thiazolylalkyl, isothiazolylalkyl, oxazolylalkyl, tetrazolylalkyl, benzo[b]thienylalkyl, benzimidazolylalkyl, benzoxazolylalkyl, benzothiazolylalkyl, benzothiadiazolylalkyl, benzodiazolylalkyl, indolylalkyl, tetrahydroindolylalkyl, azaindolylalkyl, indazolylalkyl, quinolinylalkyl, imidazopyridinylalkyl, quinazoline purinylalkyl, pyrrolo[2,3-d]pyrimidinylalkyl 1 or pyrazolo[3,4-d]pyrimidinylalkyl group or R₄, R₅ and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides or substituted or unsubstituted heterobicyclic group; and R₆ is hydrogen or a substituted or unsubstituted alkyl group.

7. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



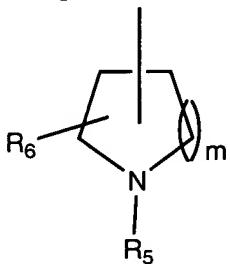
wherein n is 1, 2 or 3; and

R₄ is H, azabicycloalkyl or Y-Z, wherein Y is selected

from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r; wherein q is an integer 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl,

substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group.

8. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein

m is 0, 1, 2 or 3;

R₅ is H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of a covalent bond, -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, -(CH₂)_qC(O)-, -C(O)(CH₂)_q- and -(CH₂)_qS(O)_r, where the alkyl portion of -(CH₂)_q-, -(CH₂)_qO-, -(CH₂)_qNH-, -(CH₂)_qC(O)-, -C(O)(CH₂)_q- and -(CH₂)_qS(O)_r is optionally substituted by a halogen, hydroxy or an alkyl group; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl,

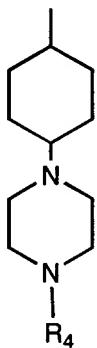
substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolyl alkyl, substituted or unsubstituted quinolinyl alkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group;

or Y and Z together are a natural or unnatural amino acid, which may be mono- or di-alkylated at the amine nitrogen; and

R₆ represents one or more substituents each independently selected from the group consisting of hydrogen, hydroxy, oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or

unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heterocyclcarbonyl, substituted or unsubstituted aminoalkyl and substituted or unsubstituted arylalkyl; provided that the carbon atoms adjacent to the nitrogen atom are not substituted by a hydroxy group.

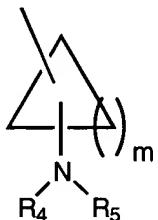
9. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein R₄ is H, substituted or unsubstituted alkyl, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-, wherein q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted

pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

10. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein

m is an integer from 1 to 6; and

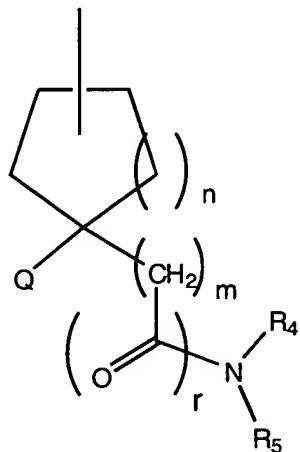
R₄ and R₅ are each, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or

unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R₄, R₅ and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl,

substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

11. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein

n is an integer from 0 to 4;

r is 0 and m is an integer from 1 to 6; or

r is 1 and m is an integer from 0 to 6;

Q is -OR₆ or -NR₄R₅;

each R₄ and R₅ is, independently, H, substituted or unsubstituted azabicycloalkyl

or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-,

-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-

; q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or

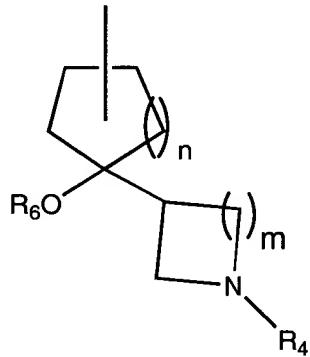
unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R₄, R₅ and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl,

substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group; and

R₆ is hydrogen or a substituted or unsubstituted alkyl group.

12. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



n is an integer from 0 to 4;

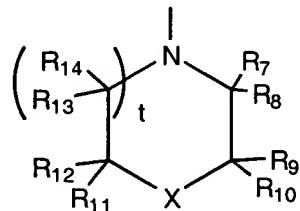
m is an integer from 0 to 6;

R₄ is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl,

substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; and

R₆ is hydrogen or a substituted or unsubstituted alkyl group.

13. (Previously Presented) The compound of Claim 10 wherein R₄, R₅ and the nitrogen atom together form a heterocyclic group of the formula



wherein

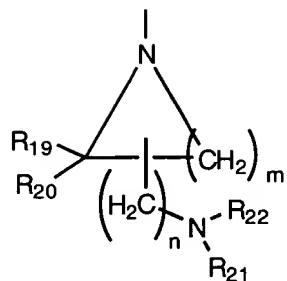
R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, R₁₃ and R₁₄ are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R₇ and R₈; R₉ and R₁₀; R₁₁ and R₁₂; or R₁₃ and R₁₄ together are an oxygen atom; or at least one of R₇ and R₉ is cyano, CONHR₁₅, COOR₁₅, CH₂OR₁₅ or CH₂NR₁₅(R₁₆), wherein R₁₅ and R₁₆ are each, independently, H, azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-,-S(O)₂-,-C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and-(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzof[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-

d]pyrimidinylalkyl; or R₁₅, R₁₆ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or a substituted or unsubstituted heterobicyclic group;

X is O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH₂, -C(O)R₁₇, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

14. (Previously Presented) The compound of Claim 10 wherein R₄, R₅ and the nitrogen atom together form a heterocycle of the formula



wherein

R₁₉ and R₂₀ are each, independently, hydrogen or lower alkyl; or R₁₉ and R₂₀ together are an oxygen atom;

R₂₁ and R₂₂ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted

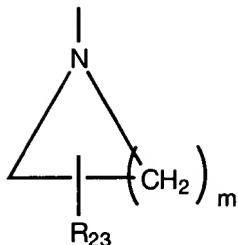
benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R₂₁, R₂₂ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group;

m is an integer from 1 to 6; and

n is an integer from 0 to 6.

15. (Original) The compound of Claim 10 wherein R₄, R₅ and the nitrogen atom together form a heterocyclic group of the formula

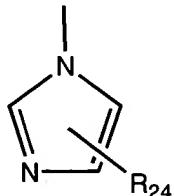


wherein

m is an integer from 1 to 6; and

R_{23} is CH_2OH , NRR' , $\text{C}(\text{O})\text{NRR}'$ or COOR , wherein R and R' are each, independently, hydrogen or substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl.

16. (Previously Presented) The compound of Claim 10 wherein R_4 , R_5 and the nitrogen atom together form a heterocyclic group of the formula

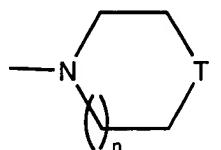


wherein R_{24} is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano, $\text{C}(\text{O})\text{OR}_{25}$, $\text{CH}_2\text{OR}_{25}$, $\text{CH}_2\text{NR}_{26}\text{R}_{27}$ or $\text{C}(\text{O})\text{NHR}_{26}$, wherein R_{25} is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl; and R_{26} and R_{27} are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of $-\text{C}(\text{O})-$, $-(\text{CH}_2)_p-$, $-\text{S}(\text{O})_2-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NH}-$, $-\text{CONH}-$, $(\text{CH}_2)_q\text{O}-$, $-(\text{CH}_2)_q\text{NH}-$, and $-(\text{CH}_2)_q\text{S}(\text{O})_r-$; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or

unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyl alkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R₂₆, R₂₇ and the nitrogen atom together form a substituted or unsubstituted thienyl, pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or

unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

17. (Original) The compound of Claim 10 wherein at least one of R₄ and R₅ is of the formula Y-Z, wherein Z is of the formula



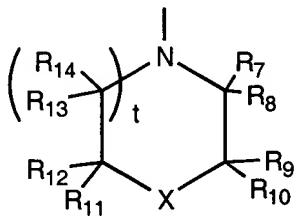
wherein

T is C(O), S, SO, SO₂, CHOR or NR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; and

n is 0, 1 or 2.

18. (Previously Presented) The compound of Claim 10 wherein at least one of R₄ and R₅ is of the formula Y-Z, wherein Z is of the formula -N(R₂₈)R₂₉, wherein R₂₈ and R₂₉ are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxy carbonylalkyl, substituted or unsubstituted hydroxylalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or R₂₈ and R₂₉, together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, or substituted or unsubstituted tetrazolyl group.

19. (Previously Presented) The compound of Claim 11 wherein R₄, R₅ and the nitrogen atom together form a heterocycle of the formula



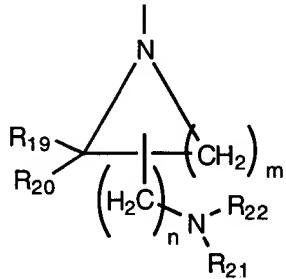
wherein

R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, R₁₃ and R₁₄ are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R₇ and R₈; R₉ and R₁₀; R₁₁ and R₁₂; or R₁₃ and R₁₄ together are an oxygen atom; or at least one of R₇ and R₉ is cyano, CONHR₁₅, COOR₁₅, CH₂OR₁₅ or CH₂NR₁₅(R₁₆), wherein R₁₅ and R₁₆ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and-(CH₂)_qS(O)_r; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or

unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R₁₅, R₁₆ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or heterobicyclic group; X is O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH₂, -C(O)R₁₈, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

20. (Previously Presented) The compound of Claim 11 wherein R₄, R₅ and the nitrogen atom together form a heterocycle of the formula



wherein

R₁₉ and R₂₀ are each, independently, hydrogen or lower alkyl; or R₁₉ and R₂₀ together are an oxygen atom;

R₂₁ and R₂₂ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted

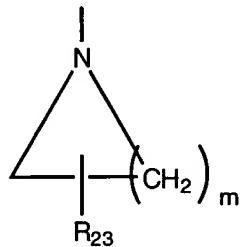
or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R_{21} , R_{22} and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimdinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group;

m is an integer from 1 to 6; and

n is an integer from 0 to 6.

21. (Original) The compound of Claim 11 wherein R₄, R₅ and the nitrogen atom together form a heterocyclic group of the formula

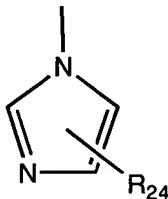


wherein

m is an integer from 1 to 6; and

R₂₃ is CH₂OH, NRR', C(O)NRR' or COOR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group.

22. (Previously Presented) The compound of Claim 11 wherein R₄, R₅ and the nitrogen atom together form a heterocyclic group of the formula

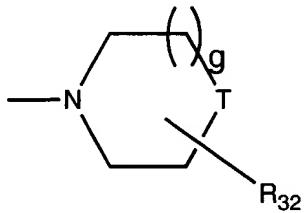


wherein R₂₄ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano, C(O)OR₂₅, CH₂OR₂₅, CH₂NR₂₆R₂₇ or C(O)NHR₂₆, wherein R₂₅ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl group; and R₂₆ and R₂₇ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and-(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl,

substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R₂₆, R₂₇ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl,

substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

23. (Original) The compound of Claim 11 wherein at least one of R₄ and R₅ is of the formula Y-Z, wherein Z is of the formula



wherein

g is 0 or 1;

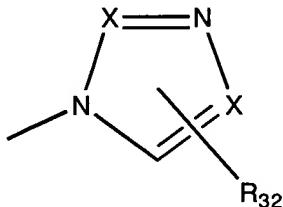
T is C(O), O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH₂, -C(O)R₁₈, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

24. (Previously Presented) The compound of Claim 11 wherein at least one of R₄ and R₅ is of the formula Y-Z, wherein Z is of the formula -N(R₂₈)R₂₉, wherein R₂₈ and R₂₉ are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxy carbonylalkyl, substituted or unsubstituted hydroxyalkyl,

substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or R_{28} and R_{29} , together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl or substituted or unsubstituted tetrazolyl group.

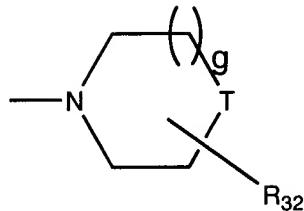
25. (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula $N(R_{30})R_{31}$, wherein R_{30} and R_{31} are each, independently, hydrogen, alkyl, alkoxy carbonyl, alkoxyalkyl, hydroxyalkyl, aminocarbonyl, cyano, alkylcarbonyl or arylalkyl.
26. (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula



wherein

each X is, independently, CH or N; and R_{32} is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

27. (Original) The compound of Claim 8 wherein R₅ is Y-Z, wherein Z is of the formula



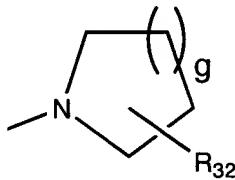
wherein

g is 0 or 1;

T is O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, C(O)NH₂, -C(NH)NH₂, -C(O)R₁₇, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

28. (Original) The compound of Claim 8 wherein R₅ is Y-Z, wherein Z is of the formula



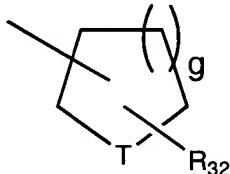
wherein

g is 0, 1 or 2; and

R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted

aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

29 (Original) The compound of Claim 8 wherein R₅ is Y-Z, wherein Z is of the formula



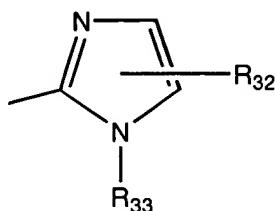
wherein

T is C(O), O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, aryl, arylalkyl, -C(NH)NH₂, -C(O)R₁₈, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl;

g is 0 or 1; and

R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxy alkyl, substituted or unsubstituted hydroxy alkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

30. (Original) The compound of Claim 8 wherein R₅ is Y-Z, wherein Z is of the formula



wherein

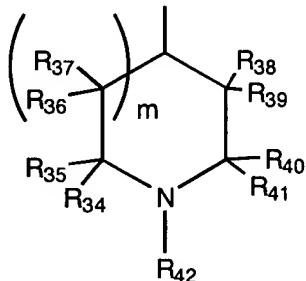
R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxy alkyl,

substituted or unsubstituted hydroxalkyl, substituted or unsubstituted aminocarbonyl, alkylcarbonyl, substituted or unsubstituted thioalkoxy or substituted or unsubstituted arylalkyl; and

R₃₃ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted aminocarbonyl, perhaloalkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

31. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



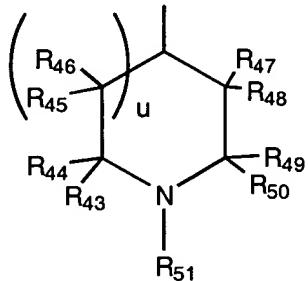
wherein

m is 0 or 1;

R₃₄, R₃₅, R₃₆, R₃₇, R₃₈, R₃₉, R₄₀ and R₄₁ are each, independently, methyl or hydrogen; or at least one pair of substituents R₃₄ and R₃₅; R₃₆ and R₃₇; R₃₈ and R₃₉; or R₄₀ and R₄₁ together are an oxygen atom; and

R₄₂ is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_p-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-, wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or

unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R₄₂ is of the formula



wherein

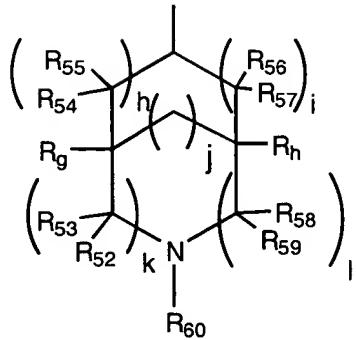
u is 0 or 1;

R₄₃, R₄₄, R₄₅, R₄₆, R₄₇, R₄₈, R₄₉ and R₅₀ are each, independently, methyl or hydrogen;

or at least one pair of substituents R₄₃ and R₄₄; R₄₅ and R₄₆; R₄₇ and R₄₈; or R₄₉ and R₅₀ together are an oxygen atom; and

R_{51} is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-,-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and-(CH₂)_qS(O)_r; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

32. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein

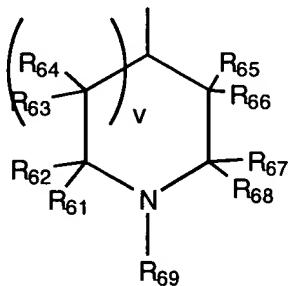
h, i, j, k and l are independently 0 or 1;

R₅₂, R₅₃, R₅₄, R₅₅, R₅₆, R₅₇, R₅₈, R₅₉, R_g and R_h are each, independently, methyl or hydrogen; or at least one pair of substituents R₅₂ and R₅₃; R₅₄ and R₅₅; R₅₆ and R₅₇; or R₅₈ and R₅₉ together are an oxygen atom; and

R₆₀ is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_p-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-, wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolyl alkyl, substituted or unsubstituted pyrimidinyl alkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted

tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or

R₆₀ is of the formula



wherein

v is 0 or 1;

R₆₁, R₆₂, R₆₃, R₆₄, R₆₅, R₆₆ and R₆₈ are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R₆₁ and R₆₂; R₆₃ and R₆₄; R₆₅ and R₆₆; and R₆₇ and R₆₈ together are an oxygen atom; and

R₆₉ is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and-(CH₂)_qS(O)_r-, wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted

oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimdinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

33-51 (Cancelled)

52. (Currently Amended) A compound according to Claim 1, wherein R₃ is H; R₂ is -Z¹⁰¹-Z¹⁰² where Z¹⁰¹ is a covalent bond, (C_4-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation -, -((C_4-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-O-, -((C_4-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-, -((C_4-C_6) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)O-, -((C_4-C_6) straight chained, branched

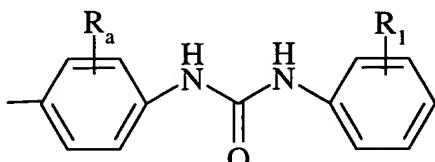
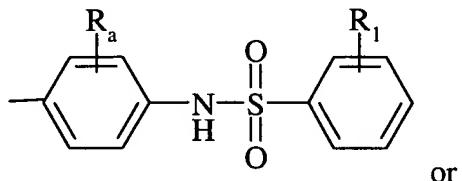
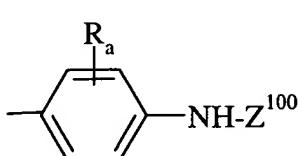
or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-NH-, -(C₄-C₆) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-C(O)-N(C₄-C₆) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)- or a substituted phenyl group; and

Z¹⁰² is hydrogen, a substituted or unsubstituted alkyl group or a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

53. (Original) A compound according to Claim 52, wherein Z¹⁰¹ is selected from the group consisting of -CH₂-C(O)O-, -CH₂-C(O)-, -CH₂-C(O)-NH-, -CH₂-C(O)-N(Me)-, -CH(Me)-C(O)O-, -(CH₂)₃-C(O)O-, -CH(Me)-C(O)-NH-, and -(CH₂)₃-C(O)-NH-;

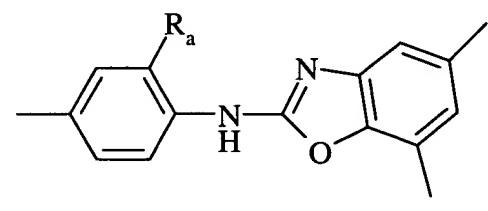
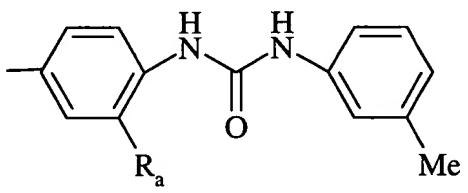
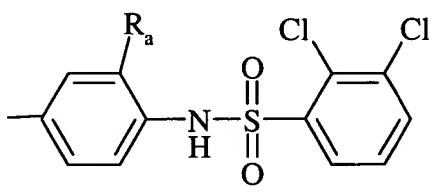
Z^{102} is selected from the group consisting of hydrogen, methyl, ethyl, N,N-dimethylaminoethyl, N,N-diethylaminoethyl, 2-phenyl-2-hydroxyethyl, morpholino, piperazinyl, N-methylpiperazinyl and 2-hydroxymethylpyrrolidinyl.

54. (Original) A compound according to Claim 53, wherein R_1 is

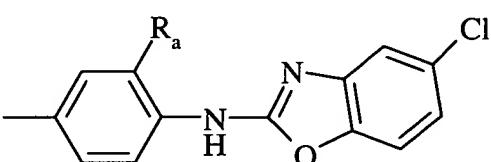


where Z^{100} is a substituted or unsubstituted benzoxazolyl or a substituted or unsubstituted benzthiazolyl.

55. (Original) A compound according to Claim 8, 9, 10 or 53, wherein R_1 is



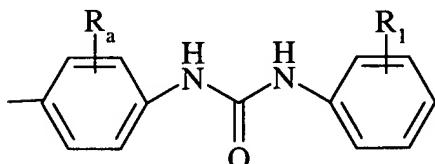
or



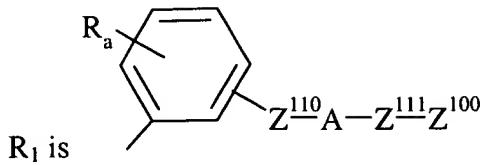
where there is only one R_a and it is H or F.

56. (Original) A compound according to Claim 52, wherein Z^{101} is a covalent bond; and Z^{102} is an optionally substituted pyridyl.

57. (Original) A compound according to Claim 56, wherein R_1 is



58. (Original) A compound according to Claim 1, wherein R_3 is H; R_2 is cyclopentyl; and



59. (Original) A compound according to Claim 58, wherein
Z¹¹⁰ is hydrogen;
A is O; and Z¹⁰⁰ is optionally substituted phenyl, furanyl or thienyl, where Z¹⁰⁰ is optionally substituted with one or more substituents each independently selected from the group consisting of F, COOH, NO₂, OMe, -COOMe, OCF₃ and CF₃.

60. (Original) A compound according to Claim 58, wherein
Z¹¹⁰ is hydrogen;
A is -O-, -O-(CR₂)_n-C(O)- or -O-(CR₂)_n-O-;
n for each occurrence is 0 to 3;
Z¹⁰⁰ is an optionally substituted group selected from the group consisting of cyclohexyl, phenyl, tetrahydropyranyl, tetrahydrofuranyl, isoxazolyl and piperidinyl; where Z¹⁰⁰ is optionally substituted with one or more substituents selected from the group consisting of alkyl, alkoxy, halo, hydroxy and alkoxy carbonyl.

61. (Original) A compound according to Claim 58, wherein R² is an optionally substituted group selected from the group consisting of cyclobutyl and cyclohexyl.

62. (Original) A compound according to Claim 61, wherein R² is optionally substituted with one or more substituents selected from the group consisting of hydroxy, alkyl, hydroxyalkyl, carboxyalkyl and phenylalkoxyalkyl.

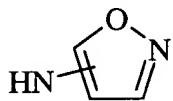
63. (Original) A compound according to Claim 62, wherein R₁ is 4-phenoxyphenyl.

64. (Original) A compound according to Claim 6 wherein
m is 2; a is 0; R₆ is H; b is 1 or 2; and R₄ and R₅ are each hydrogen.

65. (Previously Presented) A compound according to Claim 8, wherein
m is 0, 1 or 2; R₆ is hydrogen; R₅ is H or Y-Z;
where Y is a covalent bond, -C(O)-, -(CH₂)_qO-, -(CH₂)_q-, -(CH₂)_qC(O)- or -C(O)(CH₂)_q-, where the alkyl portion of -(CH₂)_qO-, -(CH₂)_p-, -(CH₂)_qC(O)- and -C(O)(CH₂)_q- is optionally substituted by a halogen, hydroxy or an alkyl group;
and

Z is hydrogen, alkyl, optionally substituted alkyl, alkoxyalkyl, optionally substituted thienylalkyl, optionally substituted pyridylalkyl, optionally substituted pyrazolylalkyl, optionally substituted isoxazolylalkyl, optionally substituted thiadiazolylalkyl, optionally substituted oxadiazolylalkyl, optionally substituted indazolylalkyl, optionally substituted furanylalkyl, optionally substituted pyrrolylalkyl, optionally substituted imidazolylalkyl, optionally substituted pyrazolylalkyl, optionally substituted triazolylalkyl, optionally substituted pyrimidinylalkyl, optionally substituted pyrazinylalkyl, optionally substituted thiazolylalkyl, optionally substituted isothiazolylalkyl, optionally substituted oxazolylalkyl, optionally substituted tetrazolylalkyl, optionally substituted benzo[b]thienylalkyl, optionally substituted benzimidazolylalkyl, optionally substituted benzoxazolylalkyl, optionally substituted benzothiazolylalkyl, optionally substituted benzothiadiazolylalkyl, optionally substituted benzodiazolylalkyl, optionally substituted indolylalkyl, optionally substituted tetrahydroindolylalkyl, optionally substituted azaindolylalkyl, optionally substituted indazolylalkyl, optionally substituted quinolinylalkyl, optionally substituted imidazopyridinylalkyl, optionally substituted quinazoline purinylalkyl, optionally substituted pyrrolo[2,3-d]pyrimidinylalkyl, optionally substituted pyrazolo[3,4-d]pyrimidinylalkyl, optionally substituted heteraryl, or optionally substituted amino.

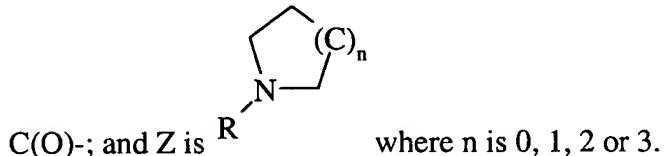
66. (Original) A compound according to Claim 65, wherein
Z is hydrogen, methyl, ethyl, hydroxymethyl, methoxyethyl, N-methyl-piperidinyl, (t-butoxycarbonyl)(hydroxy)-piperidinyl, hydroxypiperidinyl, (hydroxymethyl)piperdinyl, (hydroxy)(methyl)-piperidinyl, morpholino, (methoxyethyl)piperizinyl, methylpiperizinyl, 4-piperidinylpiperidinyl, imidazolyl, methylimidazolyl, N-methylamino, N,N-dimethylamino, N-isopropylamino, N,N-diethylamino, 2,3-dihydroxypropylamino, 2-hydroxyethylamino, 3-hydroxypropylamino, methoxyethylamino, ethoxycarbonylmethylamino, phenylmethylamino, N-methyl-N-methoxyamino,



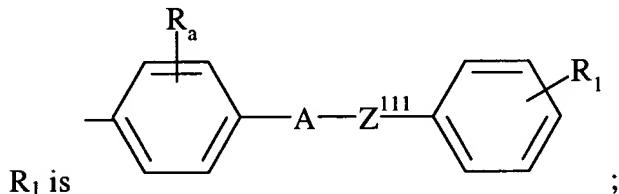
, furanymethylamino, piperidinylethylamino, N-(2-N,N-

dimethylaminoethyl)-N-methylamino, 2-N,N-dimethylaminoethylamino, N-methyl-N-(N-methylpiperidin-4-yl)amino, 2-morpholino-ethylamino, 3-morpholino-propylamino, 3-imidazolylpropylamino, or 3-(2-oxopyrrolidinyl)propylamino.

67. (Original) A compound according to Claim 8, wherein m is 2; R₅ is Y-Z; Y is -



68. (Original) A compound according to Claim 9, wherein R₄ is hydrogen or methyl;



A is selected from the group consisting of O, -N(R)- and -N(R)C(O)-;

Z¹¹¹ is -(CH₂)_n-cycloalkyl-(CH₂)_n-;

R is hydrogen or alkyl;

n is 0 to 5;

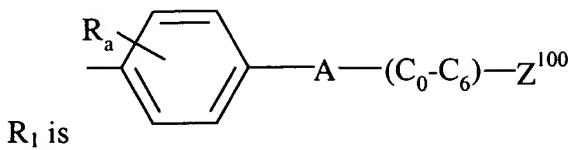
R_a is one or more substituents each independently selected from the group consisting of H, OH, F, Cl, methyl and methoxy; and

R_b is one or more substituents each independently selected from the group consisting of H, CN, F, CF₃, OCF₃, methyl, methoxy and an optionally substituted amino group;

where said amino group is optionally substituted with one or two groups each independently selected from the group consisting of alkyl, alkoxyalkyl, phenyl, substituted phenyl, and optionally substituted heteroaryl.

69. (Original) A compound according to Claim 68, wherein R_b is 4-methylphenylthio or 2-pyridinylthio.

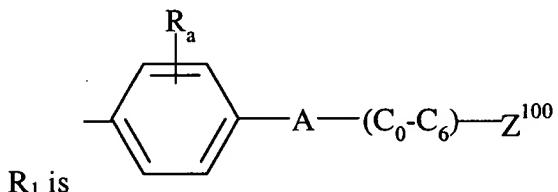
70. (Original) A compound according to Claim 9, wherein



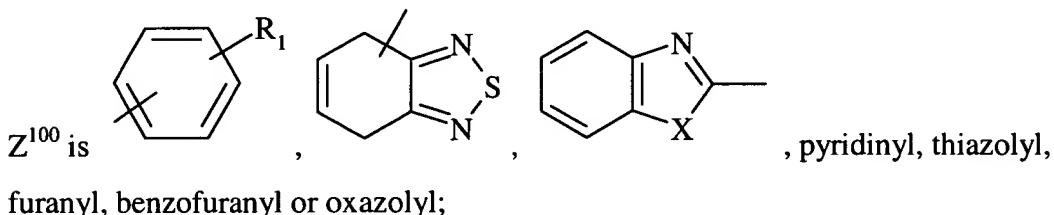
where Z^{100} is selected from the group consisting of benzo[b]thiophene, furanyl and thiophene.

71. (Original) A compound according to Claim 9C, wherein R_a is alkoxy; A is -NH-C(O)-; and there is a covalent bond between A and Z^{100} .

72. (Original) A compound according to Claims 1, 8 or 9, wherein



A is selected from the group consisting of -N(R)-C(O)-N(R)-, -(CH₂)_n-N(R)C(O)N(R)-, -N(R)- and -N(R)-SO₂-; R is hydrogen or alkyl;



X is S, O or NR where R for each occurrence is independently H or Me;

R_a is one or more substituents each independently selected from the group consisting of H and F; and

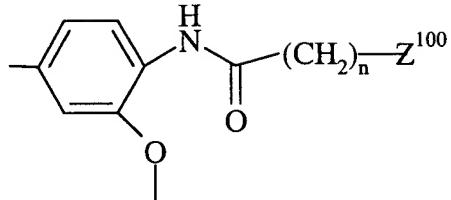
R_b is one or more substituents each independently selected from the group consisting of H, F, Cl, Br, NO₂, CF₃, alkyl, alkoxy and alkoxy carbonyl.

73. (Original) A compound according to Claim 72, wherein

R_4 is methyl; m is 1, 2 or 3; R_5 is Y-Z, where Y is -C(O)O-, -C(O)- or -C(O)-(CH₂)_p-; and Z is aminoalkyl, N-alkylamino, N,N-dialkylamino or hydroxyalkylaminoalkyl.

74. (Original) A compound according to Claim 9, wherein

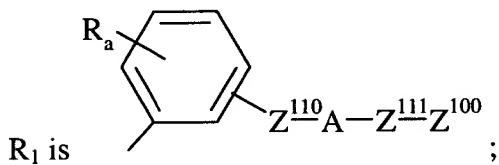
R_4 is methyl; R_1 is



where n is 0 to 3; Z¹⁰⁰ is an optionally

substituted group selected from the group consisting of indolyl, indenyl, methylindenyl, methylindolyl, dimethylaminophenyl, phenyl, cyclohexyl and benzofuranyl.

75. (Currently Amended) A compound according to claim 9, wherein



Z¹⁰⁰ is an optionally substituted group selected from the group consisting of phenyl, imidazolyl, indolyl, furanyl, benzofuranyl and 2,3-dihydrobenzofuranyl;

where Z¹⁰⁰ is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, CN, optionally substituted alkyl, -O-(optionally substituted alkyl), -COOH, -Z¹⁰⁵-C(O)N(R)₂, -Z¹⁰⁵-N(R)-C(O)-Z²⁰⁰, -Z¹⁰⁵-N(R)-S(O)₂-Z²⁰⁰, and -Z¹⁰⁵-N(R)-C(O)-N(R)-Z²⁰⁰;

Z¹⁰⁵ is a covalent bond or ((C₄-C₆) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation);

Z²⁰⁰ is an optionally substituted group selected from group consisting of ((C₄-C₆) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation), phenyl and -((C₄-C₆) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains one or more units of unsaturation)-phenyl;

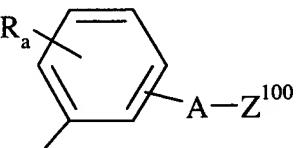
Z¹¹⁰ and Z¹¹¹ are each independently a covalent bond or (C₁-C₃) straight chained, branched or cyclic hydrocarbon which is completely saturated or which contains

one or more units of unsaturation group optionally substituted with alkyl, hydroxy, COOH, CN or phenyl; and

A is O, -N(R)-C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)- or -N(R)-C(O)-, where R is H or alkyl.

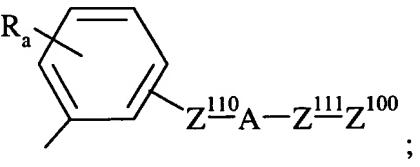
76. (Original) A compound according to Claim 75, wherein R₄ is methyl.

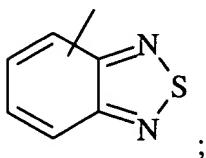
77. (Original) A compound according to Claim 8, 9 or 10, wherein


R₁ is where Z¹⁰⁰ is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

78. (Original) A compound according to Claim 77, wherein R₄ is methyl; A is -NH-; there is only one R_a and it is H or F; and Z¹⁰⁰ is optionally substituted with one or more substituents each independently selected from the group consisting of alkyl, halo, CF₃, and alkoxy.

79. (Original) A compound according to Claim 9, wherein


R₁ is ;
Z¹⁰⁰ is an optionally substituted group selected from the group consisting of phenyl, pyrrolyl, pyridyl, benzimidazolyl, naphthyl and



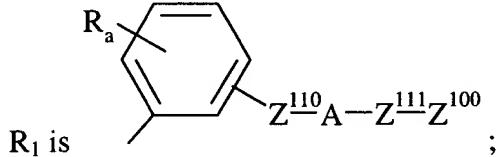
where Z¹⁰⁰ is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, Br, NO₂, amino, N-alkylamino, N,N-dialkylamino, CN, optionally substituted alkyl, -O-(optionally substituted alkyl) and phenyl;

Z¹¹⁰ and Z¹¹¹ for each occurrence is independently (C₀-C₃) optionally substituted with optionally substituted phenyl; and

A is -N(R)-C(O)-N(R)-, -N(R)-S(O)₂-, -N(R)-C(O)-, -N(R)- or -N(R)-C(O)-O-.

80. (Original) A compound according to Claim 79, wherein R₄ is methyl and there is only one R_a and it is F.

81. (Original) A compound according to Claim 9 or 66, wherein



Z¹⁰⁰ is an optionally substituted group selected from the group consisting of phenyl, isoxazolyl, tetrahydronaphthyl, furanyl, benzofuranyl, pyridyl and indolyl;

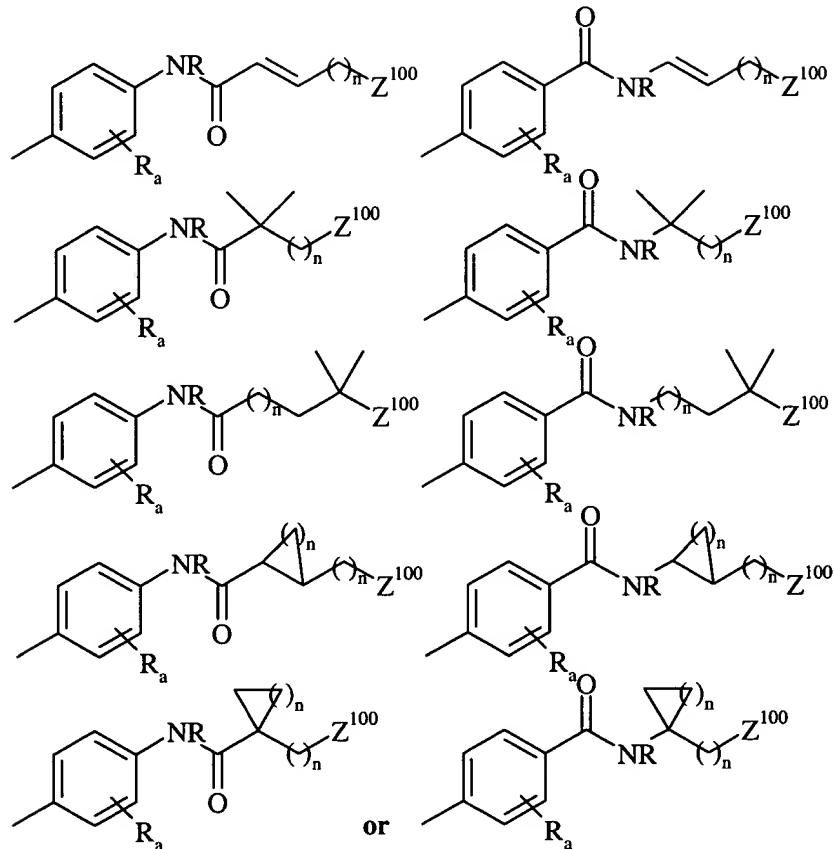
where Z¹⁰⁰ is optionally substituted with one or more substituents each independently selected from the group consisting of F, CN, NO₂, -C(O)H, -CONH₂, -NHSO₂CF₃, optionally substituted alkyl, optionally substituted heteroaryl and -O-(optionally substituted alkyl);

Z¹¹⁰ and Z¹¹¹ are each independently optionally substituted (C₀-C₃); and

A is O, -N(R)-C(O)-(CH₂)_n-N(R)-, -C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)-C(O)- or -N(R)-.

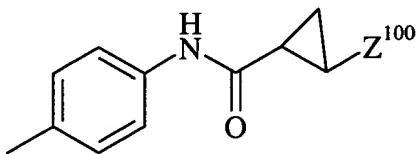
82. (Original) A compound according to Claim 81, wherein R₄ is methyl; R_a is H or methoxy; and Z¹¹⁰ and Z¹¹¹ are each unsubstituted.

83. (Original) A compound according to Claim 9, wherein R₁ is



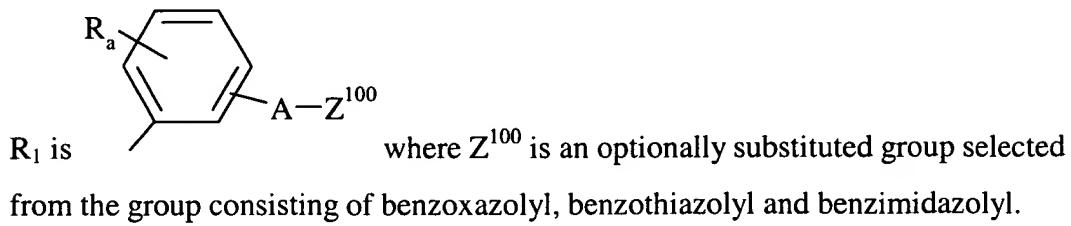
where R is H or lower alkyl and n is for each occurrence is independently 1 to 6.

84. (Original) A compound according to Claim 83, wherein R₁ is



85. (Original) A compound according to Claim 84, wherein Z¹⁰⁰ is substituted or unsubstituted phenyl.

86. (Original) A compound according to Claim 8, 9 or 10, wherein



87. (Original) A compound according to Claim 11 wherein n is 2; R₆ is H; m is 1; r is 1; and R₄ and R₅ are each hydrogen.

88. (Original) A compound according to claim 64 or 87 wherein R₁ is 4-phenoxyphenyl.